

CLAIMS

WHAT IS CLAIMED IS:

1. A fullerene-antibiotic conjugate including at least one antibiotic molecule per fullerene moiety.
2. The fullerene-antibiotic conjugate according to claim 1 wherein the fullerene comprises C₆₀.
3. The fullerene-antibiotic conjugate according to claim 2 wherein the antibiotic comprises vancomycin.
4. The fullerene-antibiotic conjugate according to claim 2 wherein the conjugate includes at least two antibiotic molecules per C₆₀ center.
5. The fullerene-antibiotic conjugate according to claim 2 wherein the conjugate includes at least three antibiotic molecules per C₆₀ center.
6. The conjugate according to claim 1 wherein the antibiotic is selected from the group consisting of penicillins, cephalosporins, quinolones, fluoroquinolones, macrolides, lincosamines, carbapenems, conobactams, aminoglycosides, glycopeptides, tetracyclines, sulfonamides, rifampin, oxazolidinones, and streptogramins.
7. The conjugate according to claim 1, further including a targeting agent comprising an antigen-binding site.
8. The conjugate according to claim 7 wherein the targeting agent is capable of binding to anthrax spores.
9. The conjugate according to claim 1, further including a targeting agent comprising a bone-targeting moiety.

10. An antibiotic treatment comprising an aerosol mist comprising the fullerene-antibiotic conjugate of claim 1.
11. A method for making a fullerene(C_{60})-antibiotic conjugate, comprising:
 - a) synthesizing a linker precursor (I);
 - b) reacting the linker precursor (I) with C_{60} via a Bingel-reaction, to produce a fullerene-linker conjugate (II);
 - c) hydrolyzing the fullerene-linker conjugate (II), resulting in a desired derivative of C_{60} (III); and
 - d) reacting the derivative (III) with a desired antibiotic to produce a fullerene-antibiotic conjugate (IV).
12. The method according to claim 11 wherein the linker precursor is a malonate having t-Boc-protected amino groups.
13. The method according to claim 11 wherein the derivative made in step c) is an amino derivative.
14. The method according to claim 11 wherein the Bingel-reaction in step b) is carried out in toluene.
15. The method according to claim 11 wherein step c) is carried out using trifluoroacetic acid.
16. The method according to claim 11 wherein the step d) is carried out in a DMF/DMSO solvent mixture.
17. The method according to claim 11 wherein step d) is carried out using DIEA as a base and HBTU as a coupling agent.
18. The method according to claim 11 wherein the step e) is carried out using acetonitrile.

19. The method according to claim 11, further including precipitating a fullerene-antibiotic conjugate (IV) from the reaction mixture.
20. The method according to claim 19, further including the additional step of washing the precipitated a fullerene-antibiotic conjugate (IV).
21. The method according to claim 11, further including the step of incorporating the a fullerene-antibiotic conjugate (IV) into a pharmaceutical composition.
22. A method of killing a microorganism infecting a mammal, the method comprising contacting said microorganism with a fullerene-antibiotic conjugate including at least one antibiotic molecule per fullerene moiety.
23. A pharmaceutical composition comprising a fullerene-antibiotic conjugate including at least one antibiotic molecule per fullerene moiety, said conjugate being present in a pharmaceutically acceptable carrier.
24. A method of inhibiting the growth of a bacterial species in a human subject, comprising:
administering to a human subject having a bacterial infection or overgrowth a pharmaceutically acceptable composition containing fullerene-antibiotic conjugate in a dose effective to inhibit the growth of a bacterial species in the human subject.
25. The method of claim 24, wherein said fullerene-antibiotic conjugate comprises C₆₀ conjugated with an antibiotic is selected from the group consisting of penicillins, cephalosporins, quinolones, fluoroquinolones, macrolides, lincosamines, carbapenems, conobactams, aminoglycosides, glycopeptides, tetracyclines, sulfonamides, rifampin, oxazolidinones, and streptogramins.
26. The method of claim 18 wherein the administration is carried out by a technique selected from the group consisting of: non-systemic delivery routes, including colonic delivery routes, ingestive delivery routes, topical applications of cream, gel, or ointment, and systemic delivery

routes, including inhalation, ingestion, injection, intravenous drip, implant, transdermal delivery routes, and transmucosal delivery routes.